Potent
see clm 10

WHAT IS CLAIMED IS:

1. A sustained release composition comprising a pharmacologically active substance or its salt, a hydroxynaphthoic acid or its salt and a lactic acid-glycolic acid polymer or its salt, wherein the product of the weight average molecular weight of said lactic acid-glycolic acid polymer by the amount (μmol) of the terminal carboxyl group per unit mass (g) of said lactic acid-glycolic acid polymer is 1,200,000 to 3,000,000 (inclusive).

2. The sustained release composition according to claim 1, wherein the pharmacologically active substance is a physiologically active peptide.

3. The sustained release composition according to claim 1, wherein the pharmacologically active substance is an LH-RH derivative.

4. The sustained release composition according to claim 1, wherein the hydroxynaphthoic acid is 1-hydroxy-2-naphthoic acid or 3-hydroxy-2-naphthoic acid.

5. The sustained release composition according to claim 1, wherein the hydroxynaphthoic acid is 1-hydroxy-2-naphthoic acid.

6. The sustained release composition according to claim 1, wherein the % molar ratio between lactic acid and glycolic acid is 100/0 to 40/60.

7. The sustained release composition according to claim 1, wherein the % molar ratio between lactic acid and glycolic acid is 100/0.

8. The sustained release composition according to claim 1, wherein the weight average molecular weight of the polymer is about

3,000 to about 100,000.

9. The sustained release composition according to claim 8, wherein the weight average molecular weight is about 20,000 to about 50,000.

10. The sustained release composition according to claim 3, wherein the LH-RH derivative is a peptide represented by Formula:

5-oxo-Pro-His-Trp-Ser-Tyr-Y-Leu-Arg-Pro-Z

wherein Y denotes DLeu, DAla, DTrp, DSer(tBu), D2Nal or DHis(ImBzl), and Z denotes NH-C_2H_5 or Gly-NH_2.

11. The sustained release composition according to claim 1, wherein the amount (μmol) of the terminal carboxyl group of the polymer is 50 to 90 μmol per unit mass (g) of the polymer.

12. The sustained release composition according to claim 3, wherein the molar ratio between the hydroxynaphthoic acid or its salt and the LH-RH derivative or its salt is 3:4 to 4:3.

13. The sustained release composition according to claim 3 which contains the LH-RH derivative or its salt in an amount of 12 % by weight to 24 % by weight based on the sustained release composition.

14. The sustained release composition according to claim 1, wherein the physiologically active substance or its salt is a slightly water-soluble or water-soluble substance.

15. The sustained release composition according to claim 1 which is a formulation for injection.

16. The method for producing a sustained release composition according to claim 1 which comprises removing a solvent from a mixture

of a pharmacologically active substance or its salt, a lactic acid-glycolic acid polymer or its salt and a hydroxynaphthoic acid or its salt.

17. The method according to claim 16 which comprises mixing the pharmacologically active substance or its salt with a solution of the lactic acid-glycolic acid polymer or its salt and the hydroxynaphthoic acid or its salt in an organic solvent, dispersing the mixture, and then removing the organic solvent.

18. The method according to claim 16, wherein the pharmacologically active substance or its salt is an aqueous solution containing the pharmacologically active substance or its salt.

19. The method according to claim 16, wherein the salt of the pharmacologically active substance is a salt with a free base or acid.

20. A medicament comprising a sustained release composition according to claim 1.

21. A prophylactic or therapeutic agent against prostate cancer, prostate hyperplasia, endometriosis, hysteromyoma, metrofibroma, precocious puberty, dysmenorrhea or mammary cancer or an contraceptive containing a sustained release composition according to claim 3.

22. The sustained release composition according to claim 1, wherein the pharmacologically active substance or its salt is released over a period of at least 6 months or longer.

23. A sustained release composition comprising a pharmacologically active substance or its salt, 1-hydroxy-2-naphthoic acid or its salt and a biodegradable polymer or its salt.